

PATENT ABSTRACTS OF JAPAN

(11)Publication number : 07-101959

(43)Date of publication of application : 18.04.1995

(51)Int.Cl. C07D519/00
 // A61K 31/40
 A61K 31/415
 A61K 31/435
 A61K 31/495
 (C07D519/00
 C07D487:00)
 (C07D519/00
 C07D471:00
 C07D487:00)

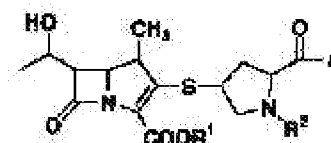
(21)Application number : 05-244299 (71)Applicant : SANKYO CO LTD
 (22)Date of filing : 30.09.1993 (72)Inventor : OIDA SADAO
 TANAKA TERUO
 KONOSU TOSHIYUKI
 MORI MAKOTO
 MIYAOKA TAKEO
 TAJIMA KAZU

(54) 1-METHYLCARBAPENEM DERIVATIVE

(57)Abstract:

PURPOSE: To provide a new 1-methylcarbapenem derivative excellent in antibacterial action, physicochemical stability and stability against enzymes, exhibiting good antibacterial activity also against bacteria resistant to penicillin and cephalosporin antibiotics, and useful as an agent for preventing and treating infections diseases.

CONSTITUTION: The derivative of formula I {R¹ is H, protecting group; R² is H, alkyl, etc.; A is group of formula II or III [R⁵ is protecting group, alkyl, etc.; a-d are 0-3 wherein a=b=c=d=0 is excluded; -B- is single bond,



I



II



III



IV

ethylene, etc.; Q1-Q3 are N, CR11 (R11 is amino, alkyl, etc.), etc.; f, g are 0-3 wherein f=g=0 is excluded], etc.,} and its salt, e.g. (1R,5S,6S)-6-[(R)-1-hydroxyethyl]-1-methyl-2-[[[(2S,2S)-2-[[[(1S,4S)-2,5-diazabicyclo[2.2.1]heptan-2-yl]carbonyl]pyrrolidin-4-yl]thio]carbapenem-3-carboxylic acid. The derivative is obtained e.g. by activating a compound of formula IV and subsequently reacting the activated compound with a thiol compound.